

10/513699

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NEWS 1 Web Page for STN Seminar Schedule - N. America  
NEWS 2 NOV 21 CAS patent coverage to include exemplified prophetic  
substances identified in English-, French-, German-,  
and Japanese-language basic patents from 2004-present  
NEWS 3 NOV 26 MARPAT enhanced with FSORT command  
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NEWS 5 NOV 26 Two new SET commands increase convenience of STN  
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coverage of complete UK patent families  
NEWS 8 DEC 17 Fifty-one pharmaceutical ingredients added to PS  
NEWS 9 JAN 06 The retention policy for unread STNmail messages  
will change in 2009 for STN-Columbus and STN-Tokyo  
NEWS 10 JAN 07 WPIDS, WPINDEX, and WPIX enhanced Japanese Patent  
Classification Data  
NEWS 11 FEB 02 Simultaneous left and right truncation (SLART) added  
for CERAB, COMPUAB, ELCOM, and SOLIDSTATE  
NEWS 12 FEB 02 GENBANK enhanced with SET PLURALS and SET SPELLING  
NEWS 13 FEB 06 Patent sequence location (PSL) data added to USGENE  
NEWS 14 FEB 10 COMPENDEX reloaded and enhanced  
NEWS 15 FEB 11 WTEXTILES reloaded and enhanced  
NEWS 16 FEB 19 New patent-examiner citations in 300,000 CA/CAPLUS  
patent records provide insights into related prior  
art  
NEWS 17 FEB 19 Increase the precision of your patent queries -- use  
terms from the IPC Thesaurus, Version 2009.01  
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and 2009 MeSH terms  
NEWS 20 FEB 23 TOXCENTER updates mirror those of MEDLINE - more  
precise author group fields and 2009 MeSH terms  
NEWS 21 FEB 23 Three million new patent records blast AEROSPACE into  
STN patent clusters  
NEWS 22 FEB 25 USGENE enhanced with patent family and legal status  
display data from INPADOCDB  
NEWS 23 MAR 06 INPADOCDB and INPAFAMDB enhanced with new display  
formats  
NEWS 24 MAR 11 EPFULL backfile enhanced with additional full-text  
applications and grants

<12/04/2007>

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NEWS 25 MAR 11 ESBIOBASE reloaded and enhanced

NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,  
AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

NEWS HOURS STN Operating Hours Plus Help Desk Availability  
NEWS LOGIN Welcome Banner and News Items  
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Enter NEWS followed by the item number or name to see news on that specific topic.

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\* \* \* \* \* STN Columbus \* \* \* \* \*

FILE 'HOME' ENTERED AT 18:58:29 ON 16 MAR 2009

=> file reg		
COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	0.22	0.22

FILE 'REGISTRY' ENTERED AT 18:58:42 ON 16 MAR 2009  
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 15 MAR 2009 HIGHEST RN 1121544-94-2  
DICTIONARY FILE UPDATES: 15 MAR 2009 HIGHEST RN 1121544-94-2

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 9, 2009.

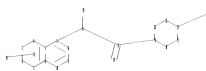
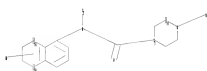
Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stdoc/properties.html>

=>  
Uploading C:\Program Files\Stnexp\Queries\10574122allow.str

10/513699



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15 16 17 25 29 30
ring nodes :
1 2 3 4 5 6 7 8 9 10 18 19 20 21 22 23
chain bonds :
7-15 15-16 15-29 16-17 16-19 22-25
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10 18-19 18-23 19-20 20-21
21-22 22-23
exact/norm bonds :
1-2 1-6 2-3 3-4 4-5 7-15 15-16 15-29 16-17 16-19 18-19 18-23 19-20
20-21 21-22 22-23 22-25
normalized bonds :
5-6 5-7 6-10 7-8 8-9 9-10
isolated ring systems :
containing 1 : 18 :
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G1:C,N

G2:C,H

```
Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
15:CLASS 16:CLASS 17:CLASS 18:Atom 19:Atom 20:Atom 21:Atom 22:Atom 23:Atom
25:CLASS 29:CLASS 30:CLASS 31:Atom
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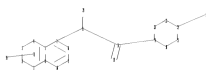
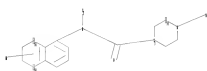
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Uploading C:\Program Files\Stnexp\Queries\10574122allow.str

<12/04/2007>

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10/513699



```
chain nodes :
15 16 17 25 29 30
ring nodes :
1 2 3 4 5 6 7 8 9 10 18 19 20 21 22 23
chain bonds :
7-15 15-16 15-29 16-17 16-19 22-25
ring bonds :
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21-22 22-23
exact/norm bonds :
1-2 1-6 2-3 3-4 4-5 7-15 15-16 15-29 16-17 16-19 18-19 18-23 19-20
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isolated ring systems :
containing 1 : 18 :
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G1:C,N

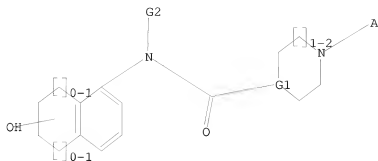
G2:C,H

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15:CLASS 16:CLASS 17:CLASS 18:Atom 19:Atom 20:Atom 21:Atom 22:Atom 23:Atom
25:CLASS 29:CLASS 30:CLASS 31:Atom
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=> d l1
L1 HAS NO ANSWERS
L1 STR
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<12/04/2007>

Erich Leese



G1 C.N

G2 C. H

Structure attributes must be viewed using STN Express query preparation.

=> s 11 full

FULL SEARCH INITIATED 18:59:16 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 127704 TO ITERATE

100.0% PROCESSED 127704 ITERATIONS

17 ANSWERS

SEARCH TIME: 00.00.05

L2

17 SEA SSS FUL L1

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=> file caplus
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COST IN U.S. DOLLARS

SINCE FILE

TOTAL

## ENTRY

## SESSION

FULL ESTIMATED COST

185.88

186.10

FILE 'CAPLUS' ENTERED AT 18:59:24 ON 16 MAR 2009

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FILE COVERS 1907 - 16 Mar 2009 VOL 150 ISS 12

FILE LAST UPDATED: 15 Mar 2009 (20090315/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

10/513699

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l2 full

L3                    1 L2

=> d ibib abs hitstr tot

L3 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:395272 CAPLUS

DOCUMENT NUMBER: 142:447019

TITLE: Preparation of tetrahydronaphthalene and urea derivatives as VRL antagonists for the prophylaxis and treatment of diseases associated with VRL activity, such as urological diseases, pain and inflammatory diseases

INVENTOR(S): Bouchon, Axel; Diedrichs, Nicole; Hermann, Achim; Lustig, Klemens; Meier, Heinrich; Pernerstorfer, Josef; Reissmüller, Elke; De Vry, Jean; Mogi, Muneto; Urbahns, Klaus; Yura, Takeshi; Fujishima, Hiroshi; Tajimi, Masaomi; Yamamoto, Noriyuki; Yuasa, Hiroaki; Gupta, Jang; Tsukimi, Yasuhiro; Hayashi, Fumihiko

PATENT ASSIGNEE(S): Bayer Healthcare Ag, Germany

SOURCE: PCI Int. Appl., 76 pp.

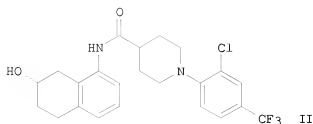
CODEN: PIXXD2

DOCUMENT TYPE: Patent  
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005040119	A1	20050506	WO 2004-EP10606	20040922
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2540647	A1	20050506	CA 2004-2540647	20040922
EP 1670761	A1	20060621	EP 2004-765478	20040922
EP 1670761	B1	20090128		
R: DE, ES, FR, GB, IT				
JP 2007508255	T	20070405	JP 2006-530003	20040922
US 20070167458	A1	20070719	US 2006-574122	20061122
PRIORITY APPLN. INFO.:			EP 2003-22235	A 20031001
			EP 2003-25570	A 20031108
			WO 2004-EP10606	W 20040922
OTHER SOURCE(S):		CASREACT 142:447019; MARPAT 142:447019		
GI				



AB This invention relates to title compds. of formula A-NH-CO-E (I) [wherein A = 7-hydroxy-5,6,7,8-tetrahydronaphthalen-1-yl, 5,6-(un)substituted naphthalen-1-yl, indan-1-yl, etc.; E = (un)substituted piperidin-4-yl, piperazin-4-yl] and tautomeric or stereoisomers and salts thereof, which are useful as active ingredients of pharmaceutical prepsns. I have been synthesized as VR1 antagonists, and can be used for the prophylaxis and treatment of diseases associated with VR1 activity, in particular for the treatment of urol. disorders or diseases, pain and inflammatory disorders or diseases. Thus, acylation of ((7S)-7-Hydroxy-5,6,7,8-tetrahydronaphthalen-1-yl)amine with 1-(2-Chloro-4-trifluoromethylphenyl)piperidine-4-carboxylic acid gave II in 28% yield. The effects of the compds. were examined in the following several assays and pharmacol. tests: measurement of capsaicin-induced  $\text{Ca}^{2+}$  influx in a human VR1-transfected CHO cell line and in primary cultured rat dorsal root ganglia neurons, resp., measurement of capsaicin-induced bladder contraction, measurement of overactive bladder in anesthetized cystitis rats, measurement of acute pain, persistent pain, neuropathic pain, inflammatory pain and diabetic neuropathic pain (only the first assay had data). II showed an  $\text{IC}_{50} \leq 0.1 \mu\text{M}$  in the first assay. Specifically disclosed applications of I include the treatment of detrusor overactivity (overactive bladder), urinary incontinence, neurogenic detrusor overactivity (detrusor hyperflexia), idiopathic detrusor overactivity (detrusor instability), benign prostatic hyperplasia, and lower urinary tract symptoms; chronic pain, neuropathic pain, postoperative pain, rheumatoid arthritic pain, neuralgia, neuropathies, algesia, nerve injury, ischemia, neurodegeneration, stroke, and inflammatory disorders such as asthma and chronic obstructive pulmonary (or airways) disease (COPD).

IT 1044165-60-7 1044165-66-3 1044165-74-3  
 1044165-75-4 1044165-84-5 1044165-91-4  
 1044165-93-6 1044165-94-7 1044166-12-2  
 1044166-15-5 1044166-18-8 1044166-31-5  
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 1044166-43-9 1044166-45-1

RL: PRPH (Prophetic)

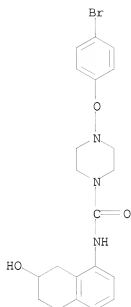
(Preparation of tetrahydronaphthalene and urea derivatives as VR1 antagonists for the prophylaxis and treatment of diseases associated with VR1 activity, such as urological diseases, pain and inflammatory diseases)

RN 1044165-60-7 CAPLUS

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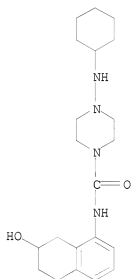


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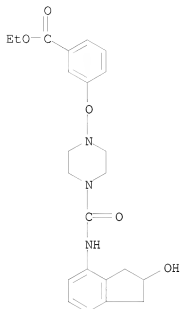
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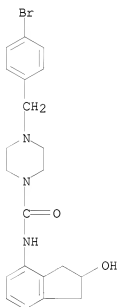
CN Benzoic acid, 3-[[4-[[[(2,3-dihydro-2-hydroxy-1H-inden-4-yl)amino]carbonyl]-1-piperazinyl]oxy]-, ethyl ester (CA INDEX NAME)

10/513699



RN 1044165-75-4 CAPLUS

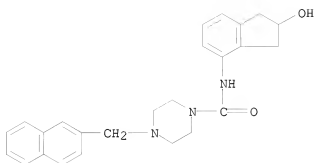
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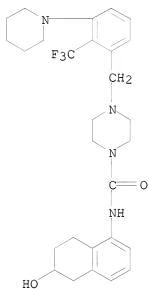
RN 1044165-84-5 CAPLUS

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10/513699

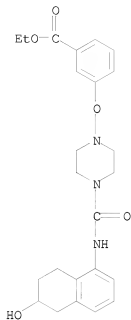


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CN INDEX NAME NOT YET ASSIGNED



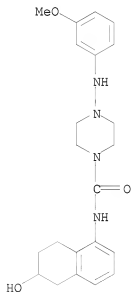
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CN INDEX NAME NOT YET ASSIGNED

10/513699



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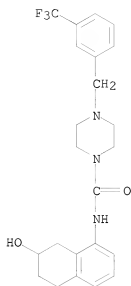
CN 1-Piperazinecarboxamide, 4-[(3-methoxyphenyl)amino]-N-(5,6,7,8-tetrahydro-6-hydroxy-1-naphthalenyl)- (CA INDEX NAME)



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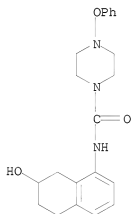
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10/513699



RN 1044166-15-5 CAPLUS

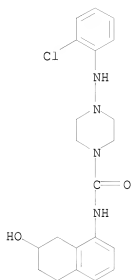
CN 1-Piperazinecarboxamide, 4-phenoxy-N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)



RN 1044166-18-8 CAPLUS

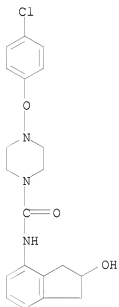
CN 1-Piperazinecarboxamide, 4-[(2-chlorophenyl)amino]-N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

10/513699



RN 1044166-31-5 CAPLUS

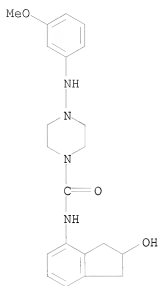
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RN 1044166-32-6 CAPLUS

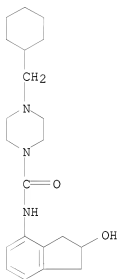
CN 1-Piperazinecarboxamide, N-(2,3-dihydro-2-hydroxy-1H-inden-4-yl)-4-[(3-methoxyphenyl)amino]- (CA INDEX NAME)

10/513699



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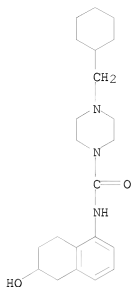
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RN 1044166-34-8 CAPLUS

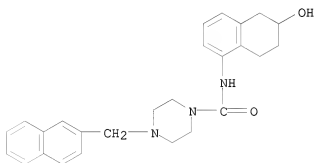
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10/513699



RN 1044166-43-9 CAPLUS

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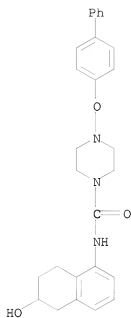


RN 1044166-45-1 CAPLUS

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10/513699



REFERENCE COUNT:

7

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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=>

=> d his

(FILE 'HOME' ENTERED AT 18:58:29 ON 16 MAR 2009)

FILE 'REGISTRY' ENTERED AT 18:58:42 ON 16 MAR 2009

L1 STRUCTURE UPLOADED

L2 17 S L1 FULL

FILE 'CAPLUS' ENTERED AT 18:59:24 ON 16 MAR 2009

L3 1 S L2 FULL

=> log y

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

6.14

192.24

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

-0.82

-0.82

STN INTERNATIONAL LOGOFF AT 18:59:46 ON 16 MAR 2009